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=> d his

(FILE 'HOME' ENTERED AT 15:17:47 ON 18 JUN 2004)

FILE 'REGISTRY' ENTERED AT 15:18:01 ON 18 JUN 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 15:18:59 ON 18 JUN 2004
L4 0 S L3
L5 16 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:20:49 ON 18 JUN 2004
L6 16 S L5
L7 0 S L6 AND THIENOPYRIDIN?

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L9 0 S L1 SSS FULL

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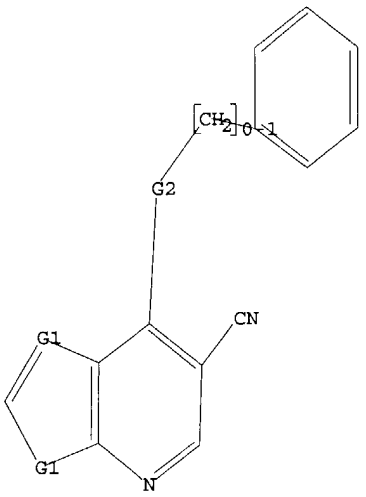

G1 C,S

G2 O,S,N

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(FILE 'HOME' ENTERED AT 15:17:47 ON 18 JUN 2004)

FILE 'REGISTRY' ENTERED AT 15:18:01 ON 18 JUN 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 15:18:59 ON 18 JUN 2004
L4 0 S L3
L5 16 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:20:49 ON 18 JUN 2004
L6 16 S L5
L7 0 S L6 AND THIENOPYRIDIN?

=> d 16 bib abs

L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:754369 CAPLUS
DN **137:279189**
TI Preparation of bicyclic guanidine derivatives as antidiabetic agents
IN Moinet, Gerard; Cravo, Daniel
PA Merck Patent GmbH, Germany
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076963	A1	20021003	WO 2002-EP2094	20020227
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2822463	A1	20020927	FR 2001-3843	20010321
	EE 200300454	A	20031215	EE 2003-454	20020227
	EP 1370542	A1	20031217	EP 2002-724186	20020227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003004172	A	20030919	NO 2003-4172	20030919
PRAI	FR 2001-3843	A	20010321		
	WO 2002-EP2094	W	20020227		

OS MARPAT 137:279189
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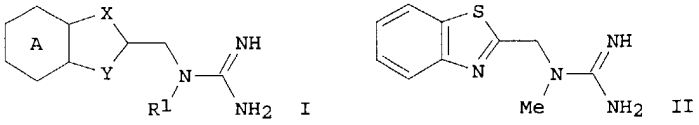

AB The title compds. [I; A = (un)substituted benzene or pyridine ring; X = CH, CH2, N, NH; Y = CH2, O, S, (un)substituted NH; R1 = H, alkyl, CH2Ph; with the provisos] and their pharmaceutically acceptable salts which may be used in the treatment of pathologies associated with insulin resistance syndrome, were prepared E.g., a 3-step synthesis of II.HCl, starting with 2-aminothiophenol, which showed 23% reduction in glycemia in the diabetic rats at 200 mg/kg/day after 4 days of treatment, was given.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 16 bib abs 2-16

L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:906207 CAPLUS
DN **136:37618**

10719359

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors

IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi

PA American Home Products Corporation, USA; Wyeth

SO U.S. Pat. Appl. Publ., 107 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001051620	A1	20011213	US 2000-751274	20001229
	US 6638929	B2	20031028		
	US 2004110762	A1	20040610	US 2003-618044	20030710
PRAI	US 1999-240905P	P	19991229		
	US 2000-751274	A3	20001229		

OS MARPAT 136:37618

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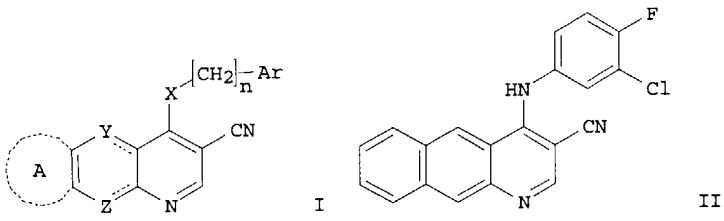

AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 μM against EGF-R kinase (recombinant enzyme), was given.

L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:635876 CAPLUS

DN **135:211049**

TI Preparation of pyrimidinamines and pyridinamines as adenosine receptor modulators for treatment of CNS disorders

IN Borroni, Edilio Maurizio; Huber-Trottmann, Gerda; Kilpatrick, Gavin John; Norcross, Roger David

PA F. Hoffmann La Roche A.-G., Switz.

SO PCT Int. Appl., 256 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001062233	A2	20010830	WO 2001-EP1679	20010215
	WO 2001062233	A3	20020103		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1261327	A2	20021204	EP 2001-927670	20010215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001008611	A	20030506	BR 2001-8611	20010215
	JP 2003523380	T2	20030805	JP 2001-561300	20010215
	US 2001027196	A1	20011004	US 2001-788956	20010220
	US 6586441	B2	20030701		
	NO 2002004006	A	20020822	NO 2002-4006	20020822
PRAI	EP 2000-103432	A	20000225		
	WO 2001-EP1679	W	20010215		

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OS MARPAT 135:211049
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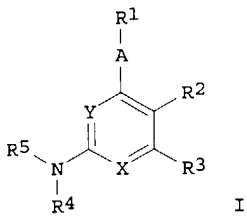

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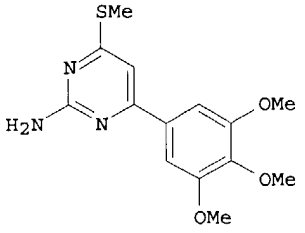

II

AB The title compds. (I) [wherein A = a bond, S, N(R), (CH2)2, CH:CH, C.tplbond.C, or O; X and Y = independently N:, :N, :CH, C(CN):, :C(CN), C(CSNH2):, or :C(CSNH2), wherein at least 1 of X or Y is N; R1 = H, (cyclo)alkyl, alkenyl, alkynyl, halo, CN, (alkyl)carboxylates, (alkyl)carbamates, alkoxy(alkyl), phenoxy(alkyl), phenylamino(alkyl), (un)substituted phenyl(alkyl) or amino(alkyl), morpholinyl(alkyl), piperidinyl(alkyl), pyridinyl(alkyl), piperazinyl(alkyl), etc.; R2 = H, halo, CN, NO2, acyl, carboxylate, (un)substituted alkyl, alkenyl, alkynyl, or Ph; R3 = alkyl or thienyl, (dihydro)furanyl, benzodioxolyl, isoxazolyl, pyridinyl, dihydropyranyl, pyrazinyl, aryl(alkyl)oxy, pyrazolyl, (un)substituted Ph, etc.; R4 and R5 = independently H, benzoyl, or (un)substituted phenacyl; or A and R2 taken together the with the C atoms to which they are attached may form a substituted thienyl group] were prepared as adenosine receptor modulators. For example, treating 3,4,5-trimethoxybenzoylacetonitrile with to NaH in DMSO, followed by addition of CS2 and MeI, gave the bis(methylthio) intermediate. Cycloaddn. with guanidine nitrate in the presence of TEA in DMF afforded the pyrimidinenitrile (II), which exhibited high selectivity toward the A1 and A3 adenosine receptors compared to the A2 receptor with pKi values of 5.88, 5.71 and 7.24, resp. I are useful for the treatment of Alzheimer's disease, Parkinson's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, asthma, allergic responses, hypoxia, ischemia, seizure, substance abuse, and sedation, and they may be active as muscle relaxants, antipsychotics, antiepileptics, anticonvulsants, and cardioprotective agents (no data). The most preferred indications for I are those which include disorders of the central nervous system, such as certain depressive disorders, neuroprotection, and Parkinson's disease.

L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:489374 CAPLUS
DN **135:92639**
TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors
IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi
PA American Home Products Corp., USA
SO PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001047892	A1	20010705	WO 2000-US35616	20001229
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1242382	A1	20020925	EP 2000-988437	20001229
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2000016878	A	20021008	BR 2000-16878	20001229
	JP 2003519127	T2	20030617	JP 2001-549364	20001229

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PRAI US 1999-473600 A 19991229
WO 2000-US35616 W 20001229
OS MARPAT 135:92639
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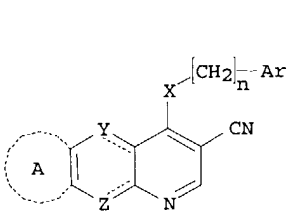

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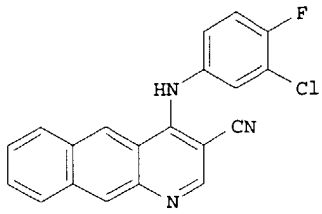

II

AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 μM against EGF-R kinase (recombinant enzyme), was given.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:77555 CAPLUS
DN **130:139335**
TI Preparation of tricyclically substituted oxazolidinones as bactericides
IN Bartel, Stephan; Guarnieri, Walter; Riedl, Bernd; Habich, Dieter; Stolle, Andreas; Ruppelt, Martin; Raddatz, Siegfried; Rosentreter, Ulrich; Wild, Hanno; Endermann, Rainer; Kroll, Hein-peter
PA Bayer Aktiengesellschaft, Germany; et al.
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9903846	A1	19990128	WO 1998-EP4252	19980708
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19730847	A1	19990128	DE 1997-19730847	19970718
	AU 9884417	A1	19990210	AU 1998-84417	19980708
	ZA 9806360	A	19990127	ZA 1998-6360	19980717
PRAI	DE 1997-19730847		19970718		
	WO 1998-EP4252		19980708		

OS MARPAT 130:139335
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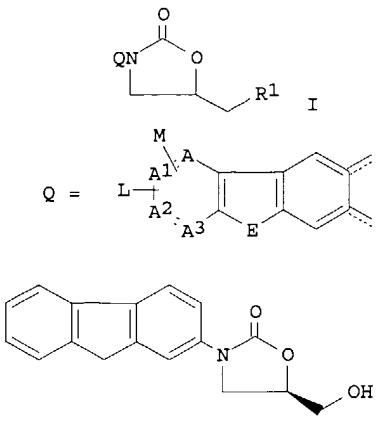

I

Q =

II

AB Title compds. [I; R1= N3, OH, OMe, OSO2Me, NH2, NHCOCH3, etc.; E = O, S, CO, SO, SO2, NC2H5, etc.; A, A1, A2, A3 are independently CH, N, with no more than one N; L and M are independently H, OH, CO, CN, NO2, CHO, etc.; dotted bonds = one single bond to I and the other single bond to a H] are prepared as antibacterial medicaments. Thus, compound II was prepared from cycloaddn. of 2-benzyloxycarbonylaminofluorene and (R)-2,3-epoxypropyl butanoate in the presence of Bu lithium in hexane.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:21576 CAPLUS
DN **128:88784**
TI Preparation of pyridylthioamides as pesticides.
IN Bretschneider, Thomas; Heil, Markus; Kleefeld, Gerd; Erdelen, Christoph
PA Bayer A.-G., Germany
SO Ger. Offen., 48 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19625263	A1	19980102	DE 1996-19625263	19960625
	WO 9749683	A1	19971231	WO 1997-EP3051	19970612
	W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9730946	A1	19980114	AU 1997-30946	19970612
	EP 907640	A1	19990414	EP 1997-926000	19970612
	R: CH, DE, ES, FR, GB, IT, LI				
	CN 1223640	A	19990721	CN 1997-195852	19970612
	BR 9709960	A	19990810	BR 1997-9960	19970612
	JP 2000516573	T2	20001212	JP 1998-502213	19970612
	KR 2000016808	A	20000325	KR 1998-710420	19981218
PRAI	DE 1996-19625263	A	19960625		
	WO 1997-EP3051	W	19970612		

OS MARPAT 128:88784
AB RN(Py)CSYA [Py = (substituted) 4-pyridyl; R = H, alkyl, alkoxyalkyl, (substituted) benzyloxyalkyl, aryloxyalkyl, alkylcarbonyloxyalkyl, alkoxycarbonyl, hydroxyalkyl, CHO, dialkylaminothio, cyanoalkyl, haloalkyl, nitroalkyl, etc.; Y = bond, heteroatom, heterogrouping, heterogrouping-containing carbon chain, etc.; A = (substituted) cycloalkyl, cycloalkenyl, Ph, heterocyclyl], were prepared Thus, N-(2-ethyl-3-chloro-4-pyridyl)(2,6-dimethyl-4-chlorophenyl)acetamide was refluxed with Lawesson's reagent in PhMe for 16 h to give 91% N-(2-ethyl-3-chloro-4-pyridyl)(2,6-dimethyl-4-chlorophenyl)acetamide. The latter at 0.01% gave 100% kill of Phaedon cochleariae on cabbage leaves.

L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:761907 CAPLUS
DN **128:48218**
TI Preparation of benzyloxybenzothiazoles and related compounds as bradykinin antagonists.
IN Wagner, Adalbert; Heitsch, Holger; Nolken, Gerhard; Wirth, Klaus;

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Scholkens, Bernward
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 808838	A1	19971126	EP 1997-107623	19970509
	EP 808838	B1	20031022		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
	DE 19620508	A1	19971127	DE 1996-19620508	19960522
	AT 252567	E	20031115	AT 1997-107623	19970509
	US 5834500	A	19981110	US 1997-858077	19970516
	AU 9723510	A1	19971127	AU 1997-23510	19970520
	CN 1169992	A	19980114	CN 1997-113120	19970520
	CA 2205785	AA	19971122	CA 1997-2205785	19970521
	NO 9702312	A	19971124	NO 1997-2312	19970521
	ZA 9704416	A	19971124	ZA 1997-4416	19970521
	JP 10067762	A2	19980310	JP 1997-131161	19970521
	BR 9703370	A	19980922	BR 1997-3370	19970522
PRAI	DE 1996-19620508	A	19960522		

OS MARPAT 128:48218
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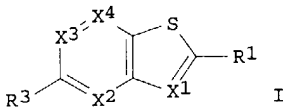

I

AB Title compds. [I; 1 of X1, X2, X3 = COR2, the other of X1, X2, X3, X4 = N, CR1; R1, R3 = H, halo, alkyl, OR6, SR6, NHR6, aryl, cyano, NO2, etc.; R2 = (substituted) 3-[R10AN(R6)]C6H4CH2; R6 = H, alkyl, alkenyl, aralkyl, cycloalkyl, cycloalkylalkyl, etc.; A = amino acid residue; R10 = H, acyl], were prepared Thus, trans-4-trifluoromethylcinnamoyl chloride (preparation given) and 4-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylbenzothiazole were stirred in CH2Cl2 to give 4-[3-(N-4-trifluoromethylcinnamoylglycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylbenzothiazole. The latter showed antagonistic activity at the guinea pig B2 receptor with IC50 <10-7 M.

L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:997893 CAPLUS
DN **124:145923**
TI Preparation of N-(2-pyridyl)naphthalenesulfonamides and analogs as endothelin receptor antagonists
IN Bradbury, Robert Hugh
PA Zeneca Ltd., UK
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 682016	A1	19951115	EP 1995-106918	19950508
	R: CH, DE, ES, FR, GB, IT, LI				
	ES 2160648	T3	20011116	ES 1995-106918	19950508
	JP 07304739	A2	19951121	JP 1995-111945	19950510
	US 5641793	A	19970624	US 1995-440133	19950512
PRAI	GB 1994-9618	A	19940513		

OS MARPAT 124:145923
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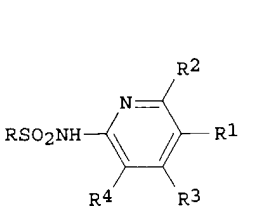

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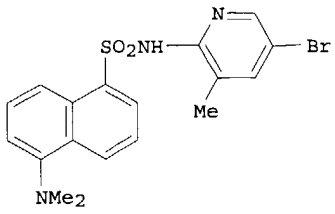

II

AB Title compds. [I; R = (un)substituted naphthyl, -biphenylyl; R1 = (un)substituted alk(en)yl, alkoxy, halo, alkanoyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.] were prepared Thus, 5-dimethylamino-1-naphthalenesulfonyl chloride was amidated with 2-amino-5-bromo-3-methylpyridine to give title compound II which had pIC50 of 6.5 against endothelin-1 binding at mouse erythroleukemic cell membranes expressing human ETA and ETB receptors.

L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:508763 CAPLUS
DN **121:108763**
TI Preparation of condensed pyridine derivatives as inhibitors of the biological effects of oxygen free radicals
IN Bachy, Andre; Fraisse, Laurent; Keane, Peter; Mendes, Etienne; Vernieres, Jean Claude; Simiand, Jacques
PA Elf Sanofi SA, Fr.
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 587473	A1	19940316	EP 1993-402095	19930825
	EP 587473	B1	19981111		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	FR 2695126	A1	19940304	FR 1992-10329	19920827
	FR 2695126	B1	19941110		
	US 5360799	A	19941101	US 1993-109073	19930819
	AU 9344747	A1	19940303	AU 1993-44747	19930820
	AU 659027	B2	19950504		
	AT 173258	E	19981115	AT 1993-402095	19930825
	ES 2125315	T3	19990301	ES 1993-402095	19930825
	CA 2104883	AA	19940228	CA 1993-2104883	19930826
	NO 9303051	A	19940228	NO 1993-3051	19930826
	HU 64957	A2	19940328	HU 1993-2425	19930826
	HU 217623	B	20000328		
	JP 06184145	A2	19940705	JP 1993-211451	19930826
	US 5468750	A	19951121	US 1994-273943	19940712
	FI 9602714	A	19960701	FI 1996-2714	19960701
PRAI	FR 1992-10329	A	19920827		
	US 1993-109073	A3	19930819		
	FI 1993-3756	A	19930826		

OS MARPAT 121:108763
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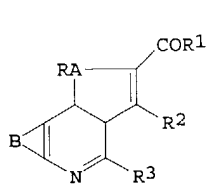

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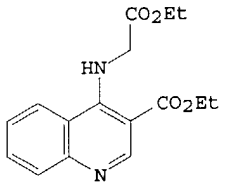
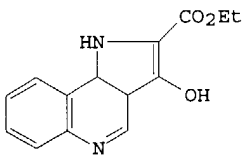


II



III

AB Title compds. [I; R1 = OH, alkyl, alkoxy, Ph, PhCH2, PhCH2O, (substituted) amino, aminoalkyl; R2 = OH, SH, alkoxy, alkylthio, (substituted) amino; R3 = H, alkyl, alkylthio, alkoxy, Ph, PhCH2; A = S, N; R = null, H, (substituted) alkyl; B = (substituted) Ph, pyridyl, or thienyl nucleus], were prepared Thus, aminoacetate II was stirred 10 h with KOCMe3 in PhMe/HOCMe3 to give title compound III. I inhibited the toxic effects of KCN in mice with IC50 = 2-30 mg/kg i.v.

L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:270816 CAPLUS
DN **120:270816**
TI Phosphorus containing heterocyclic compounds as angiotensins antagonists
IN Gibson, Keith Hopkinson
PA Zeneca Ltd., UK
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9324501	A1	19931209	WO 1993-GB1068	19930524
	W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9340840	A1	19931230	AU 1993-40840	19930524
PRAI	GB 1992-11292		19920528		
	WO 1993-GB1068		19930524		

OS MARPAT 120:270816
GI For diagram(s), see printed CA Issue.
AB The invention concerns pharmaceutically useful compds. of formula (I) wherein Q is selected from a group of the partial structural formula (II, III, IV, V, or VI) and their non-toxic salts and metabolism labile esters, and pharmaceutical compns. containing them. Ring B of II completes a benzene or pyridine ring; R1, T1 and F1 are independently selected from, e.g., (1-8C)alkyl, (3-8C)cycloalkyl, Ph, phenyl(1-4C)alkyl; R2, T2 and F2 are independently selected from, e.g., H, (1-8C)alkyl, (3-8C)cycloalkyl, carboxy, cyano, nitro, Ph or phenyl(1-4C)alkyl; R3, R4 are optional substituents on ring B independently selected from, e.g., (1-4C)alkyl, (1-4C)alkoxy, halogeno, trifluoromethyl, cyano, nitro, etc.; T3 is independently selected from halogeno, (1-4C)alkoxy, amino, alkylamino and dialkylamino of up to 6 carbon atoms and any values assigned for T1; T4 and F3 are independently selected from, e.g., H, (1-4C)alkyl, (1-4C)alkoxy, carboxy, halogeno, cyano, nitro, carbamoyl, etc.; Y is oxygen or a group, i.e., NH or N(alkyl); group A is selected from, e.g., CH:CH, CH:CHCO, COCH2CH2, etc.; E1 is H, (1-8C)alkyl, trifluoromethyl; E2 is H, (1-8C)alkyl, , halogeno, (1-4C)alkoxy, cyano, nitro, etc.; E3 is H, (1-8C)alkyl, halogeno, trifluoromethyl; E4 and E5 are optional substituents on linking group A, e.g., (1-4C)alkyl; L1 is (1-8C)alkyl; L2 and L3 are independently selected from H, and (1-4C)alkyl; F4 is H or (1-4C)alkyl; F2 and F3 together complete a benzene ring or (3-6C)alkylene group; F3 and F4 together form a linking group which is selected from e.g., CH2CH2, COCH2, etc.; X = methylene or a direct bond; Rm and Rn are independently selected from H, (1-4C)alkyl, etc.; E5, F1 or F2 may be unsubstituted or bear substituents. The novel compds. are of value in treating such conditions such as hypertension and congestive heart failure. The invention further concerns processes for the manufacture of the novel compds. and the use of the compds. in medical treatment.

L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:191702 CAPLUS
DN **120:191702**
TI Nitrogen-containing heterocyclic compounds as angiotensin-II antagonists and their preparation
IN Gibson, Keith Hopkinson
PA Zeneca Ltd., UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9324487	A1	19931209	WO 1993-GB1057	19930521

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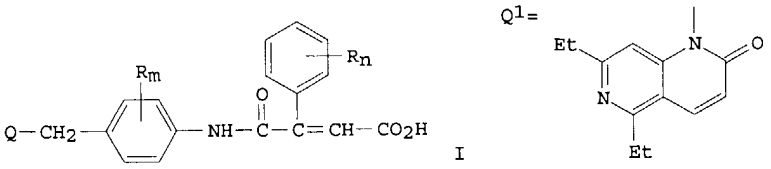
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9340834 A1 19931230 AU 1993-40834 19930521
PRAI GB 1992-11270 19920528
WO 1993-GB1057 19930521
OS MARPAT 120:191702
GI



I

Q1=

AB The invention concerns pharmaceutically useful compds. of formula I [Q = certain (un)substituted quinolinyloxy, naphthyridinyloxy, pyridinyloxy, pyridinylamino, azaindolyl, naphthyridinyl, imidazopyridinyl, and pyrimidinylamino groups; Rm, Rn = H, alkyl, alkoxy, halo, CF3, cyano, NO2; m and n unspecified] and their non-toxic salts and metabolically labile esters, pharmaceutical compns. containing them, preparatory processes, and medical use. I are of value in treating such conditions as hypertension and congestive heart failure. In the one example provided, condensation of phenylmaleic anhydride with 1-(4-aminobenzyl)-5,7-diethyl-1,6-naphthyridin-2(1H)-one (2 preparation routes given) gave I (Rm, Rn = H, Q = Q1) (II). In an in vitro test for antagonism of angiotensin II binding to guinea pig adrenal gland receptors, II had IC50 of 3.58 + 10-7 M.

L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:560259 CAPLUS
DN **119:160259**
TI Heterocyclic compounds useful as angiotensin II antagonists
IN Bradbury, Robert Hugh
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 539066	A1	19930428	EP 1992-309226	19921009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2079414	AA	19930415	CA 1992-2079414	19920929
JP 05221989	A2	19930831	JP 1992-275980	19921014
US 5373015	A	19941213	US 1992-960659	19921014

PRAI GB 1991-21727 19911014
OS MARPAT 119:160259
GI For diagram(s), see printed CA Issue.

AB The title compds. I [R = Q1, Q2, Q3, B = part of a benzene or pyridine ring; R1, T1 = C1-8 alkyl, C3-8 cycloalkyl, Ph, etc.; R2, T2 = H, C1-8 alkyl, C3-8 cycloalkyl, carboxy, cyano, NO2, etc.; R3, R4 = optional substituents, C1-4 alkyl, C1-4 alkoxy, halo, CF3, cyano, NO2, etc.; T3 = halo, C1-4 alkoxy, NH2, alkylamino, etc.; T4 = H, C1-4 alkyl, un(substituted) C1-4 alkoxy, C1-4 alkyl, etc.; Y = O, NR5, R5 = H, C1-4 alkyl, C1-4 alkanoyl, PhCO; A = CH:CH, CH:CHCO, COCH:CH, COCH2CH2, CH2CH2CO, CH2CO, COCH2; E1 = H, C1-8 alkyl, CF3; E2 = H, C1-8 alkyl, halo, C1-4 alkoxy, CF3, CO2H, C1-4 alkoxycarbonyl, C3-6 alkenyloxycarbonyl, cyano, NO2, C1-4 alkanoyl, PhSO2, C1-4 alkylS(O)m, m = 0, 1, 2; E3 = H, C1-8 alkyl, C1-4 alkoxy, halo, CF3; E4, E5 are optional substituents on A and = C1-4 alkyl, substituted C1-4 alkyl, C1-4 alkoxycarbonyl, C3-6 alkenyloxycarbonyl, C1-4 alkanoyl, carbamoyl, etc.; X = O, S, NR6, R6 = H, C1-4 alkyl; G1, G2, G3, G4 = H, C1-4 alkyl, C1-4 alkoxy, halo; Z = 1H-tetrazol-5-yl, CO2H, CONHSO2R7, R7 = C1-4 alkyl, Ph, etc.] or their nontoxic salts, are prepared Thus, 2-[4-[(5,7-diethyl-2-oxo-1,2-dihydro-1,6-naphthyridin-1-yl)methyl]phenoxy]phenylacetic acid (II) was prepared from 3-amino-2-pentenenitrile and Me propionylacetate in a number of steps. II showed an IC50 of 2.7x10-7 M against radiolabeled angiotensin II bound to

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guinea pig adrenal gland membrane.

L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:472593 CAPLUS
DN **119:72593**
TI Preparation of CNS active tetrahydrobenzothienopyridines
IN Davies, David Thomas; Forbes, Ian Thomson; Thompson, Mervyn
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9304068	A1	19930304	WO 1992-GB1487	19920811
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	AU 9224236	A1	19930316	AU 1992-24236	19920811
	ZA 9206012	A	19940204	ZA 1992-6012	19920811
	EP 597966	A1	19940525	EP 1992-916885	19920811
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 06509799	T2	19941102	JP 1992-504169	19920811
	US 5447937	A	19950905	US 1994-196176	19940210
PRAI	GB 1991-17459		19910813		
	WO 1992-GB1487		19920811		

OS MARPAT 119:72593
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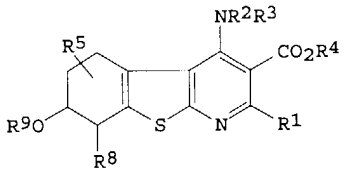

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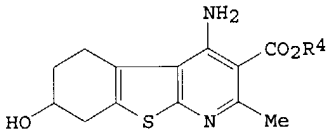

II

AB Title compds. [I; R1 = H, alkyl, (substituted) Ph; R2,R3 = H, (cyclo)alkyl, cycloalkylalkyl, alkenyl, alkanoyl, alkylsulfonyl, dialkylaminoalkyl, 3-oxobutyl, 3-hydroxybutyl, (substituted) Ph, PhCO, phenylalkanoyl, benzenesulfonyl; R2R3 = CO- or (O- or imino-interrupted) polymethylene; CO2R4 = pharmaceutically acceptable ester group; R5 = H, alkyl; R8 H; R5R8 = alkylidene; R9 = H, alkyl], were prepared as CNS agents (no data). Thus, title compound II was prepared starting from 1,4-cyclohexanedione monoethylene ketal via 2-amino-6,6-ethylenedioxy-4,5,6,7-tetrahydrobenzo[b]thiophene-3-carbonitrile.

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:213055 CAPLUS
DN **118:213055**
TI Preparation of 2-[(heteroaryloxymethyl)benzoxazol-2-yl] benzoates and analogs as angiotensin II antagonists
IN Bradbury, Robert Hugh; Thomas, Andrew Peter
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 520723	A1	19921230	EP 1992-305704	19920622
	EP 520723	B1	19940601		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	CA 2071021	AA	19921226	CA 1992-2071021	19920611
	AT 106404	E	19940615	AT 1992-305704	19920622
	JP 06145170	A2	19940524	JP 1992-165963	19920624
	US 5387592	A	19950207	US 1992-904227	19920625
PRAI	GB 1991-13628		19910625		
	EP 1992-305704		19920622		

OS MARPAT 118:213055

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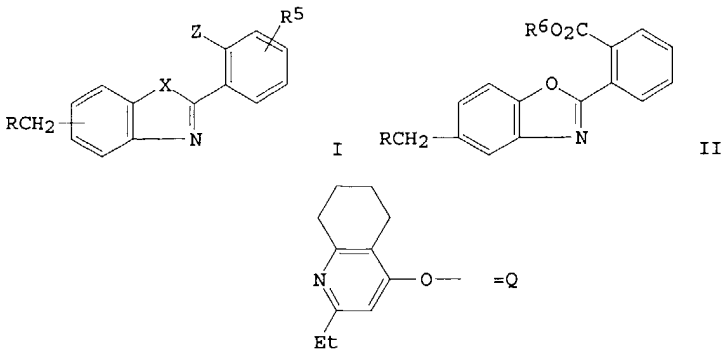
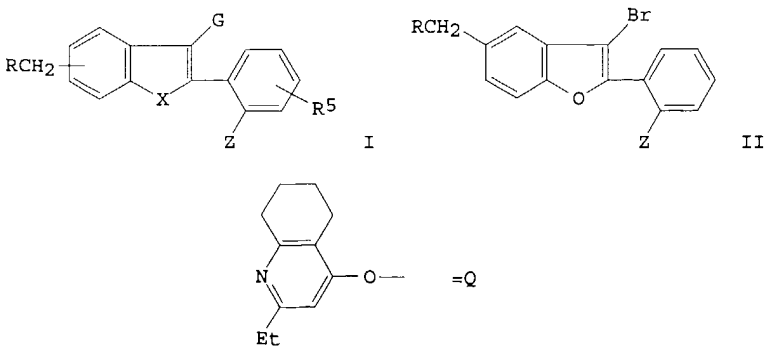


AB Title compds. [I; R = 2-alkyl-5,6,7,8-tetrahydroquinal-4-yloxy, 2-alkyl-3-H-imidazo[4,5-b]pyridin-3-yl, 2,6-dialkyl-4-halo-1H-pyrrolo [3,2-C]pyrid-1-yl, etc.; R5 = H, alkyl, alkoxy, halo, etc.; X = O, S, (alkyl) imino; Z = CO2H, NHSO2CF3, 1H-tetrazol-5-yl] were prepared Thus, 2-amino-p-cresol was condensed with 2-(OHC)C6H4CO2Me and the product cyclized to give, after bromination, benzoxazolylbenzoate II (R = Br, R6 = Me) which was condensed with 2-ethyl-5,6,7,8-tetrahydro-4(1H)quinoline (preparation given) to give, after saponification, II (R = Q, R6 = H). I antagonize angiotensin II-induced pressor response in rats at ≤50 mg/kg i.v. or orally.

L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:191538 CAPLUS
DN **118:191538**
TI Preparation of 2-(2-benzofuranyl)benzoates and analogs as angiotensin II inhibitors
IN Bradbury, Robert Hugh; Thomas, Andrew Peter
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 520724	A1	19921230	EP 1992-305705	19920622
	EP 520724	B1	19950920		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	CA 2071086	AA	19921226	CA 1992-2071086	19920611
	JP 05186462	A2	19930727	JP 1992-167414	19920625
	US 5281613	A	19940125	US 1992-904225	19920625
PRAI	GB 1991-13626		19910625		

OS MARPAT 118:191538
GI

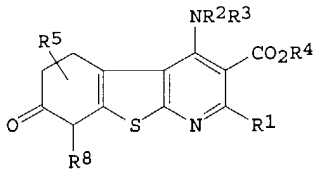


AB Title compds. [I; G = H, halo, cyano, alkyl, alkoxycarbonyl, etc.; R = 2-alkyl-5,6,7,8-tetrahydroquinolin-4-yloxy, 2,6-dialkyl-3-halopyrid-4-ylamino, 5,7-dialkyl-2-oxo-1,2-dihydro-1,6-naphthyridin-1-yl, etc.; R5 = H, alkyl, halo, alkoxy, etc.; X = O, S, (alkyl)imino; Z = CO2H, NHSO2CF3, 1H-tetrazol-5-yl] were prepared Thus, phenylbenzofuran II (R = Br, Z = 2-triphenylmethyl-2H-tetrazol-5-yl) was condensed with 2-ethyl-5,6,7,8-tetrahydro-4(1H)-quinolone to give, after deprotection, II (R = Q, Z = 1H-tetrazol-5-yl) which had ED50 of 8.2 mg/kg i.v. against angiotensin II-induced pressor response in rats.

L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:106268 CAPLUS
DN **116:106268**
TI Preparation of 4-amino-7-oxo-5,6,7,8-tetrahydrobenzo[b]thieno[2,3-b]pyridine-3-carboxylates as anxiolytics and antidepressants
IN Davies, David Thomas; Forbes, Ian Thomson; Thompson, Mervyn
PA Beecham Group PLC, UK
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9117165	A1	19911114	WO 1991-GB697	19910501
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9177721	A1	19911127	AU 1991-77721	19910501
	AU 641504	B2	19930923		
	EP 527964	A1	19930224	EP 1991-920966	19910501
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06505698	T2	19940630	JP 1991-508510	19910501
	ZA 9103394	A	19920729	ZA 1991-3394	19910506
PRAI	GB 1990-10296		19900508		
	WO 1991-GB697		19910501		

OS MARPAT 116:106268
GI



I

AB Title compds. [I; R1 = H, alkyl, (un)substituted Ph, phenylalkyl; R2, R3 = H, (cyclo)alkyl, alkenyl, alkanoyl, Ph, Bz, etc.; R2R3 = (heteroatom interrupted) (CH2)2-6; R4 = pharmaceutically acceptable ester residue; R5 = H, alkyl; R8 = H; R5R8 = alkylidene attached at the 8-position] were prepared Thus, 2-amino-6,6-ethylenedioxy-4,5,6,7-tetrahydrobenzo[b]thiophene-3-carbonitrile (preparation described) was condensed with EtOCMe:CHCO2Et and the product cyclized to give, after deprotection, I (R1 = Me, R2 = R3 = R5 = R8 = H, R4 = Et) which gave 52% increase in the square root of total number of lever presses by rats in the FR5 'conflict' session of the Geller-Seifter procedure at 20 mg/kg orally.